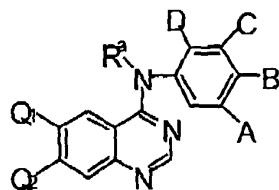


WHAT IS CLAIMED IS:

1. A radiolabeled compound of a formula:



Formula I

wherein;

Q1 is X-Y(=O)-Z and Q2 is selected from the group consisting of hydrogen, halogen, alkoxy, hydroxy, thiohydroxy, thioalkoxy, alkylamino and amino, or

Q1 is selected from the group consisting of hydrogen, halogen, alkoxy, hydroxy, thiohydroxy, thioalkoxy, alkylamino and amino and Q2 is X-Y(=O)-Z:

X is selected from the group consisting of $-NR^1-$, $-O-$, $-NH-NR^1-$, $-O-NR^1-$, $NH-CHR^1-$, $-CHR^1-NH-$, $-CHR^1-O-$, $-O-CHR^1-$, $-CHR^1-CH_2-$ and $-CHR^1-S-$ or absent;

Y is selected from the group consisting of a non-radioactive carbon and a radioactive carbon;

Z is selected from the group consisting of $-R^2C=CHR^3$, $-C\equiv C-R^3$ and $-R^2C=C=CHR^3$;

R^a is selected from the group consisting of hydrogen or alkyl having 1-8 carbon atoms;

A, B, C and D are each independently selected from the group consisting of hydrogen, a non-radioactive derivatizing group and a radioactive derivatizing group selected from a radioactive bromine, a radioactive iodine and a radioactive fluorine;

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R^1 is selected from the group consisting of hydrogen, and substituted or non-substituted alkyl having 1-6 carbon atoms;

R^2 is selected from the group consisting of hydrogen, halogen and alkyl having 1-6 carbon atoms; and

R^3 is selected from the group consisting of hydrogen, halogen, carboxy, alkenyl, alkoxy, carbonyl, substituted or non-substituted alkyl having 1-6 carbon atoms and substituted or non-substituted phenyl;

provided that the compound comprises at least one radioactive atom.

2. The radiolabeled compound of claim 1, wherein said non-radioactive derivatizing group is selected from the group consisting of hydrogen, halogen, alkyl, haloalkyl, hydroxy, alkoxy, carboxy, carbalkoxy, thiocarboxy, thiohydroxy, thioalkoxy, alkylsulfinyl, alkylsulfonyl, amino, diamino, carbamyl, dicarbamoyl, nitro and cyano.

3. The radiolabeled compound of claim 1, wherein said R^3 is a substituted alkyl having 1-6 carbon atoms.

4. The radiolabeled compound of claim 3, wherein said substituted alkyl comprises a radioactive atom.

5. The radiolabeled compound of claim 3, wherein said substituted alkyl comprises a substituted amino group.

6. The radiolabeled compound of claim 5, wherein said substituted amino group is selected from the group consisting of an alkylamino group and a dialkylamino group.

7. The radiolabeled compound of claim 6, wherein said substituted amino group comprises said radioactive atom.

8. The radiolabeled compound of claim 7, wherein said radioactive atom is a radioactive carbon.

9. The radiolabeled compound of claim 8, wherein said radioactive carbon is carbon-11.

10. The radiolabeled compound of claim 1, wherein said alkoxy comprises a morpholino group.

11. The radiolabeled compound of claim 1, wherein said alkylamino comprises a N-piperazinyl group.

12. The radiolabeled compound of claim 1, wherein Q1 is X-Y(=O)-Z and Q2 is selected from the group consisting of hydrogen, halogen, alkoxy, hydroxy, thiohydroxy, thioalkoxy, alkylamino and amino.

13. The radiolabeled compound of claim 1, wherein Q1 is X-Y(=O)-Z and Q2 is hydrogen.

14. The radiolabeled compound of claim 1, wherein Q1 is X-Y(=O)-Z and Q2 is alkoxy.

15. The radiolabeled compound of claim 14, wherein said alkoxy comprises a morpholino group.

16. The radiolabeled compound of claim 1, wherein Q1 is X-Y(=O)-Z and Q2 is alkylamino.

17. The radiolabeled compound of claim 16, wherein said alkylamino comprises a N-piperazinyl group.

18. The radiolabeled compound of claim 13, wherein X is said -NR¹- and Z is said -R²C=CHR³.

19. The radiolabeled compound of claim 18, wherein each of R¹, R² and R³ is hydrogen.

20. The radiolabeled compound of claim 18, wherein R³ is a substituted alkyl having 1-6 carbon atoms.

21. The radiolabeled compound of claim 20, wherein said substituted alkyl comprises a radioactive atom.

22. The radiolabeled compound of claim 20, wherein said substituted alkyl comprises a substituted amino group.

23. The radiolabeled compound of claim 22, wherein said substituted amino group is selected from the group consisting of an alkylamino group and a dialkylamino group.

24. The radiolabeled compound of claim 23, wherein said substituted amino group comprises a radioactive atom.

25. The radiolabeled compound of claim 24, wherein said radioactive atom is a radioactive carbon.

26. The radiolabeled compound of claim 25, wherein said radioactive carbon is carbon-11.

27. The radiolabeled compound of claim 1, wherein Y is said radioactive carbon.

28. The radiolabeled compound of claim 1, wherein at least one of A, B, C and D is said radioactive fluorine.

29. The radiolabeled compound of claim 1, wherein D is said radioactive fluorine.

30. The radiolabeled compound of claim 29, wherein A and B are each chlorine and C is hydrogen.

31. The radiolabeled compound of claim 1, wherein A is said radioactive bromine.

32. The radiolabeled compound of claim 1, wherein A is said radioactive iodine.

33. The radiolabeled compound of claim 1, wherein said radioactive carbon is carbon-11.

34. The radiolabeled compound of claim 33, wherein A and B are each chlorine, C is hydrogen and D is fluorine.

35. The radiolabeled compound of claim 33, wherein A is bromine or iodine and B, C and D are each hydrogen.

36. The radiolabeled compound of claim 9, wherein A and B are each chlorine, C is hydrogen and D is fluorine.

37. The radiolabeled compound of claim 9, wherein A is bromine or iodine and B, C and D are each hydrogen.

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38. The radiolabeled compound of claim 26, wherein A and B are each chlorine, C is hydrogen and D is fluorine.

39. The radiolabeled compound of claim 26, wherein A is bromine or iodine and B, C and D are each hydrogen.

40. The radiolabeled compound of claim 1, wherein said radioactive fluorine is fluorine-18.

41. The radiolabeled compound of claim 1, wherein said radioactive bromine is bromine-76 or bromine-77.

42. The radiolabeled compound of claim 1, wherein said radioactive iodine is iodine-123, iodine-124 or iodine-131.

43. The radiolabeled compound of claim 42, wherein said radioactive iodine is iodine-124.

44. The radiolabeled compound of claim 15, wherein Y is said radioactive carbon.

45. The radiolabeled compound of claim 44, wherein said radioactive carbon is carbon-11.

46. The radiolabeled compound of claim 45, wherein A and B are each chlorine, C is hydrogen and D is fluorine.

47. The radiolabeled compound of claim 45, wherein A is bromine or iodine and B, C and D are each hydrogen.

48. The radiolabeled compound of claim 15, wherein at least one of A, B, C and D is a radioactive atom selected from the group consisting of a radioactive fluorine, a radioactive bromine and a radioactive iodine.

49. A pharmaceutical composition comprising as an active ingredient the radiolabeled compound of claim 1 and a pharmaceutical acceptable carrier.

50. A method of monitoring the level of epidermal growth factor receptor within a body of a patient, the method comprising:

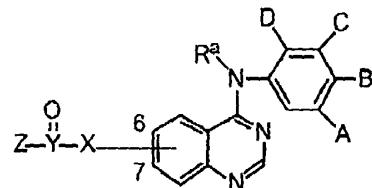
- (a) administering to the patient the radiolabeled compound of claim 1; and
- (b) employing a nuclear imaging technique for monitoring a distribution of the compound within the body or within a portion thereof.

51. The method of claim 50, wherein said technique is positron emission tomography.

52. The method of claim 50, wherein said technique is single photon emission computed tomography.

53. A method of radiotherapy comprising administering to a patient a therapeutically effective amount of the radiolabeled compound of claim 1.

54. A method of synthesizing a radiolabeled compound of a formula:



Formula II

wherein:

X-Y(=O)-Z is at position 6 or 7 of the quinazoline ring;

X is selected from the group consisting of -NR¹-, -O-, -NH-NR¹-, -O-NR¹-, NH-CHR¹-, -CHR¹-NH-, -CHR¹-O-, -O-CHR¹-, -CHR¹-CH₂- and -CHR¹-S- or absent;

Y is carbon-11;

Z is selected from the group consisting of -R²C=CHR³, -C≡C-R³ and -R²C=C=CHR³;

R⁸ is selected from the group consisting of hydrogen or alkyl having 1-8 carbon atoms;

A, B, C and D are each independently selected from the group consisting of hydrogen and a non-radioactive derivatizing group;

R¹ is selected from the group consisting of hydrogen and substituted or non-substituted alkyl having 1-6 carbon atoms;

R² is selected from the group consisting of hydrogen, halogen and alkyl having 1-6 carbon atoms; and

R³ is selected from the group consisting of hydrogen, halogen, carboxy, alkenyl, alkoxy, carbonyl, substituted or non-substituted alkyl having 1-6 carbon atoms and substituted or non-substituted phenyl, the method comprising:

- (a) coupling an aniline derivatized by said R⁸, A, B, C and D with a 4-chloroquinazoline substituted at position 6 and/or 7 by at least one reactive group, so as to produce a reactive 4-(phenylamino)quinazoline derivatized by said A, B, C and D; and
- (b) reacting said reactive 4-(phenylamino)quinazoline with a reactive carbon-11 labeled α,β -unsaturated carboxylic derivative.

55. The method of claim 54, wherein said non-radioactive derivatizing group is selected from the group consisting of hydrogen, halogen, alkyl, haloalkyl, hydroxy, alkoxy, carboxy, carbalkoxy, thiocarboxy,

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thiohydroxy, thioalkoxy, alkylsulfinyl, alkylsulfonyl, amino, diamino, carbamyl, dicarbamoyl, nitro and cyano.

56. The method of claim 54, wherein said X-Y(=O)-Z is at position 6 of the quinazoline ring.

57. The method of claim 54, wherein said reactive 4-(phenylamino)quinazoline is 4-(phenylamino)-6-nitroquinazoline, the method further comprising, prior to step (b):

(c) reducing said 4-(phenylamino)-6-nitroquinazoline so as to produce a 4-(phenylamino)-6-aminoquinazoline derivatized by said A, B, C and D.

58. The method of claim 54, wherein said 4-chloroquinazoline is substituted at positions 6 and 7 by a first and a second reactive groups, the method further comprising, prior to step (b):

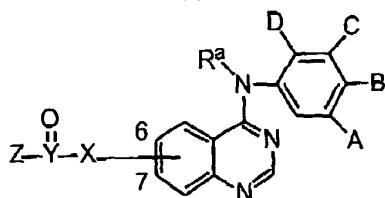
(d) reacting said reactive 4-(phenylamino)quinazoline with a chemically reactive group.

59. The method of claim 58, wherein said chemically reactive group comprises a morpholinoalkoxy group.

60. The method of claim 54, wherein said reactive carbon-11 labeled α,β -unsaturated carboxylic derivative is carbon-11 labeled acryloyl chloride.

61. A method of synthesizing a radiolabeled compound of a formula:

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Formula II

wherein:

X-Y(=O)-Z is at position 6 or 7 of the quinazoline ring;

X is selected from the group consisting of -NR¹-, -O-, -NH-NR¹-, -O-NR¹-, NH-CHR¹-, -CHR¹-NH-, -CHR¹-O-, -O-CHR¹-, -CHR¹-CH₂- and -CHR¹-S- or absent;

Y is a non-radioactive carbon;

Z is selected from the group consisting of -R²C=CHR³, -C≡C-R³ and -R²C=C=CHR³;

R^a is selected from the group consisting of hydrogen or alkyl having 1-8 carbon atoms;

A, B, C and D are each independently selected from the group consisting of hydrogen, a non-radioactive derivatizing group and a fluorine-18, provided that at least one of A, B, C and D is said fluorine-18;

R¹ is selected from the group consisting of hydrogen, and substituted or non-substituted alkyl having 1-6 carbon atoms;

R² is selected from the group consisting of hydrogen, halogen and alkyl having 1-6 carbon atoms; and

R³ is selected from the group consisting of hydrogen, halogen, carboxy, alkenyl, alkoxy, carbonyl, substituted or non-substituted alkyl having 1-6 carbon atoms and substituted or non-substituted phenyl, the method comprising:

- (a) preparing a fluorine-18 labeled aniline derivatized by said R^a, A, B, C and D, wherein at least one of A, B, C and D is said fluorine-18;

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- (b) coupling said fluorine-18 labeled aniline derivatized by said R^a, A, B, C and D with 4-chloroquinazoline substituted at position 6 and/or 7 by at least one reactive group, so as to produce a reactive fluorine-18 labeled 4-(phenylamino)quinazoline derivatized by said A, B, C and D; and
- (c) reacting said reactive fluorine-18 labeled 4-(phenylamino)quinazoline with a reactive α,β -unsaturated carboxylic derivative, so as to produce a fluorine-18 labeled 4-(phenylamino)quinazoline substituted by an α,β -unsaturated carboxylic group.

62. The method of claim 61, wherein said non-radioactive derivatizing group is selected from the group consisting of hydrogen, halogen, alkyl, haloalkyl, hydroxy, alkoxy, carboxy, carbalkoxy, thiocarboxy, thiohydroxy, thioalkoxy, alkylsulfinyl, alkylsulfonyl, amino, diamino, carbamyl, dicarbamoyl, nitro and cyano.

63. The method of claim 61, wherein said X-Y(=O)-Z is at position 6 of the quinazoline ring.

64. The method of claim 61, wherein said reactive fluorine-18 labeled 4-(phenylamino)quinazoline is fluorine-18 labeled 4-(phenylamino)-6-nitroquinazoline, the method further comprising, prior to step (c):

- (d) reducing said fluorine-18 labeled 4-(phenylamino)-6-nitroquinazoline, so as to produce a fluorine-18 labeled 4-(phenylamino)-6-aminoquinazoline derivatized by said A, B, C and D.

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65. The method of claim 61, wherein said 4-chloroquinazoline is substituted at positions 6 and 7 by a first and a second reactive groups, the method further comprising, prior to step (c):

(e) reacting said reactive fluorine-18 labeled 4-(phenylamino)quinazoline with a chemically reactive group.

66. The method of claim 65, wherein said chemically reactive group comprises a morpholinoalkoxy group.

67. The method of claim 61, wherein said reactive α,β -unsaturated carboxylic derivative is acryloyl chloride.

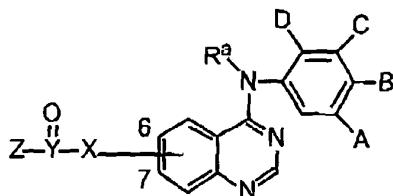
68. The method of claim 61, wherein R^3 is said substituted alkyl having 1-6 carbon atoms, whereas said reactive α,β -unsaturated carboxylic derivative terminates with a reactive group, the method further comprising:

(f) reacting said fluorine-18 labeled 4-(phenylamino)quinazoline substituted by said α,β -unsaturated carboxylic group with a reactive substituted alkyl having 1-6 carbon atoms.

69. The method of claim 68, wherein said reactive α,β -unsaturated carboxylic derivative is 4-bromocrotonyl chloride.

70. The method of claim 68, wherein said reactive substituted alkyl is dimethylamine.

71. A method of synthesizing a radiolabeled compound of a formula:



Formula II

wherein:

X-Y(=O)-Z is at position 6 or 7 of the quinazoline ring;

X is selected from the group consisting of -NR¹-, -O-, -NH-NR¹-, -O-NR¹-, NH-CHR¹-, -CHR¹-NH-, -CHR¹-O-, -O-CHR¹-, -CHR¹-CH₂- and -CHR¹-S- or absent;

Y is a non-radioactive carbon;

Z is selected from the group consisting of -R²C=CHR³, -C≡C-R³ and -R²C=C=CHR³;

R^a is selected from the group consisting of hydrogen or alkyl having 1-8 carbon atoms;

A, B, C and D are each independently selected from the group consisting of hydrogen, a non-radioactive derivatizing group and a radioactive atom selected from a radioactive bromine and a radioactive iodine, provided that at least one of A, B, C and D is said radioactive bromine or said radioactive iodine;

R¹ is selected from the group consisting of hydrogen, and substituted or non-substituted alkyl having 1-6 carbon atoms;

R² is selected from the group consisting of hydrogen, halogen and alkyl having 1-6 carbon atoms; and

R³ is selected from the group consisting of hydrogen, halogen, carboxy, alkenyl, alkoxy, carbonyl, substituted or non-substituted alkyl having 1-6 carbon atoms and substituted or non-substituted phenyl; the method comprising:

- (a) coupling an aniline derivatized by said R^a, A, B, C and D, wherein at least one of A, B, C and D is a halogen, with a 4-chloroquinazoline substituted at position 6 and/or 7 by at least one reactive group, so as to produce a reactive 4-(phenylamino)quinazoline derivatized by said A, B, C and D, wherein at least one of A, B, C and D is said halogen;
- (b) radiolabeling said reactive 4-(phenylamino)quinazoline derivatized by said A, B, C and D with a radioactive bromine or a radioactive iodine, so as to produce a radioactive bromine labeled or a radioactive iodine labeled reactive 4-(phenylamino)quinazoline derivatized by said A, B, C and D, wherein at least one of said A, B, C and D is said radioactive bromine or said radioactive iodine; and
- (c) reacting said radioactive bromine labeled or radioactive iodine labeled reactive 4-(phenylamino)quinazoline with a reactive α,β -unsaturated derivative.

72. The method of claim 71, wherein said radioactive bromine is bromine-76 or bromine-77.

73. The method of claim 71, wherein said radioactive iodine is iodine-123, iodine-124 or iodine-131.

74. The method of claim 71, wherein said non-radioactive derivatizing group is selected from the group consisting of hydrogen, halogen, alkyl, haloalkyl, hydroxy, alkoxy, carboxy, carbalkoxy, thiocarboxy, thiohydroxy, thioalkoxy, alkylsulfinyl, alkylsulfonyl, amino, diamino, carbamyl, dicarbamoyl, nitro and cyano.

75. The method of claim 71, wherein said X-Y(=O)-Z is at position 6 of the quinazoline ring.

76. The method of claim 71, wherein said reactive 4-(phenylamino)quinazoline is 4-(phenylamino)-6-nitroquinazoline, the method further comprising, prior to step (b):

(d) reducing said 4-(phenylamino)-6-nitroquinazoline, so as to produce a 4-(phenylamino)-6-aminoquinazoline derivatized by said A, B, C and D, wherein at least one of said A, B, C and D is said halogen.

77. The method of claim 71, wherein said halogen is bromine.

78. The method of claim 71, wherein said 4-chloroquinazoline is substituted at positions 6 and 7 by a first and a second reactive groups, the method further comprising, prior to step (c):

(e) reacting said reactive radioactive bromine labeled or radioactive iodine labeled 4-(phenylamino)quinazoline with a chemically reactive group.

79. The method of claim 78, wherein said chemically reactive group comprises a morpholinoalkoxy group.

80. The method of claim 71, wherein said reactive α,β -unsaturated carboxylic derivative is acryloyl chloride.

81. The method of claim 71, wherein R^3 is said substituted alkyl having 1-6 carbon atoms, whereas said reactive α,β -unsaturated carboxylic derivative terminates with a reactive group, the method further comprising:

(f) reacting said radioactive bromine labeled or radioactive iodine labeled reactive 4-(phenylamino)quinazoline substituted by said

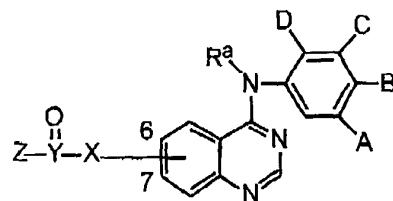
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α,β -unsaturated carboxylic group with a reactive substituted alkyl having 1-6 carbon atoms.

82. The method of claim 81, wherein said reactive α,β -unsaturated carboxylic derivative is 4-bromocrotonyl chloride.

83. The method of claim 81, wherein said reactive substituted alkyl is dimethylamine.

84. A method of synthesizing a radiolabeled compound of a formula:



Formula II

wherein;

X-Y(=O)-Z is at position 6 or 7 of the quinazoline ring;

X is selected from the group consisting of -NR¹-, -O-, -NH-NR¹-, -O-NR¹-, NH-CHR¹-, -CHR¹-NH-, -CHR¹-O-, -O-CHR¹-, -CHR¹-CH₂- and -CHR¹-S- or absent;

Y is a non-radioactive carbon:

Z is selected from the group consisting of $-R^2C=CHR^3$, $-C\equiv C-R^3$ and $-R^2C=C=CHR^3$;

R^a is selected from the group consisting of hydrogen or alkyl having 1-8 carbon atoms:

A, B, C and D are each independently selected from the group consisting of hydrogen and a non-radioactive derivatizing group;

R^1 is selected from the group consisting of hydrogen, and substituted or non-substituted alkyl having 1-6 carbon atoms;

R^2 is selected from the group consisting of hydrogen, halogen and alkyl having 1-6 carbon atoms; and

R^3 is a substituted alkyl having 1-6 carbon atoms, said substituted alkyl comprises a carbon-11 atom, the method comprising:

- (a) coupling an aniline derivatized by said R^a , A, B, C and D with a 4-chloroquinazoline substituted at position 6 or 7 by a first reactive group, so as to produce a reactive 4-(phenylamino)quinazoline derivatized by said A, B, C and D;
- (b) reacting said reactive 4-(phenylamino)quinazoline with a reactive α,β -unsaturated carboxylic derivative, said reactive α,β -unsaturated carboxylic derivative terminating with a second reactive group, so as to produce a 4-(phenylamino)quinazoline substituted at position 6 or 7 by an α,β -unsaturated carboxylic group terminating with said second reactive group;
- (c) reacting said 4-(phenylamino)quinazoline substituted at position 6 or 7 by said α,β -unsaturated carboxylic group terminating with said second reactive group with a reactive substituted alkyl having 1-6 carbon atoms, so as to produce a 4-(phenylamino)quinazoline substituted at position 6 or 7 by said α,β -unsaturated carboxylic group terminating with said reactive substituted alkyl; and
- (d) reacting said 4-(phenylamino)quinazoline substituted at position 6 or 7 by said α,β -unsaturated carboxylic group terminating with said reactive substituted alkyl with a carbon-11 labeled reactive compound.

85. The method of claim 84, wherein said non-radioactive derivatizing group is selected from the group consisting of hydrogen, halogen, alkyl, haloalkyl, hydroxy, alkoxy, carboxy, carbalkoxy, thiocarboxy, thiohydroxy, thioalkoxy, alkylsulfinyl, alkylsulfonyl, amino, diamino, carbamyl, dicarbamoyl, nitro and cyano.

86. The method of claim 84, wherein said X-Y(=O)-Z is at position 6 of the quinazoline ring.

87. The method of claim 84, wherein said reactive 4-(phenylamino)quinazoline is 4-(phenylamino)-6-nitroquinazoline, the method further comprising, prior to step (b):

(e) reducing said 4-(phenylamino)-6-nitroquinazoline so as to produce a 4-(phenylamino)-6-aminoquinazoline derivatized by said A, B, C and D.

88. The method of claim 84, wherein said reactive α,β -unsaturated carboxylic derivative is acryloyl chloride.

89. The method of claim 84, wherein said second reactive group is halogen.

90. The method of claim 89, wherein said halogen is selected from the group consisting of bromine and iodine.

91. The method of claim 84, wherein said reactive α,β -unsaturated carboxylic derivative terminating with said second reactive group is 4-bromocrotonyl chloride.

92. The method of claim 84, wherein said reactive substituted alkyl having 1-6 carbon atoms is methylamine.

93. The method of claim 84, wherein said carbon-11 labeled reactive compound is carbon-11 methyl iodide.